L7 ANSWER 1 OF 1 MARPAT COPYRIGHT 2004 ACS on STN
AN 139:164658 MARPAT Full-text
TI Preparation of ansamycins having improved pharmacological and biological properties
IN Zhang, Lin; Le Brazidec, Jean-Yves; Boehm, Marcus F.; McHugh, Sean Konrad; Fan, Junhua; Fritz, Lawrence C.; Burrows, Francis J.
PA Conforma Therapeutics Corporation, USA
SO PCT Int. Appl., 207 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003066005	A2	20030814	WO 2003-US4283	20030210
	WO 2003066005	A3	20040610		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

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	WO 2003050295	A2	20030619	WO 2002-US39993	20021212

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PRAI	US 2002-355275P	20020208
	US 2002-367055P	20020322
	WO 2002-US39993	20021212
	US 2001-340762P	20011212

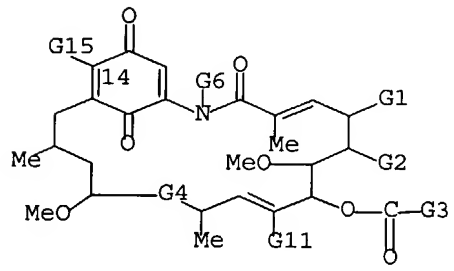
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

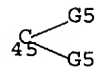
AB Ansamycins of formula I [R1R2 = H2, bond; R3 = H, alkyl; R4, R5 = H, OH, alkoxy, acetoxy, aryloxy, acyloxy, etc.; R4R5 = O, NOH, alkoxyimine, etc.; R6 = H, alkyl, aryl, acyl; Y1, Y2 = H, OH, alkoxy, acetoxy, acyloxy, alkylsulfonyl, alkylamino, etc.; Y1R4 = heterocyclic or carbocyclic ring] and methods of preparing and using the same are described. At least some of these ansamycins exhibit one or more of improved aqueous formulation ability, chemical stability, and bioavailability. Some of the derivs. described are dimers. These and others described can include one or more solubilizing groups that have expected merit in rendering the overall compds. useful as drugs and

prodrugs. Thus, II was prepared from geldanamycin and 3,3'-diaminodipropylamine in 93% yield. II suppressed tumor growth of BT474 and SKOV-3 tumor models.

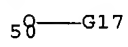
MSTR 1



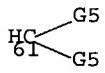
G3 = NH2
G4 = 45



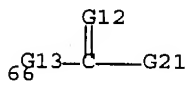
G5 = 50



G11 = 61



G13 = NH
G15 = 66



G17 = alkyl<(1-30)>
G21 = NH2
MPL: claim 1
NTE: or pharmaceutically acceptable salts
NTE: also incorporates claim 18
NTE: additional ring formation also claimed
NTE: substitution is restricted

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=> d l1; d his; log y
L1 HAS NO ANSWERS
L1                STR
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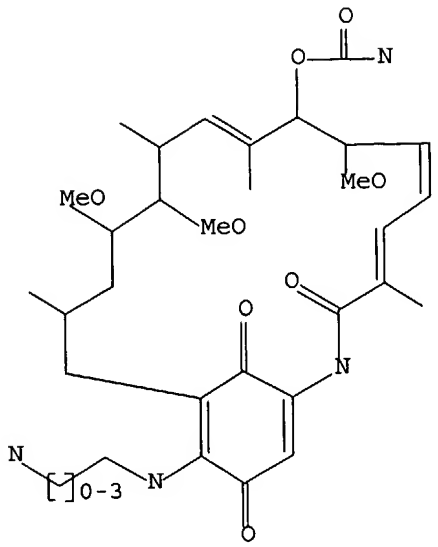

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               DEL HIS Y

     FILE 'REGISTRY' ENTERED AT 17:53:42 ON 24 AUG 2004
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L2              0 S L1
L3              0 S L1 FUL

     FILE 'BEILSTEIN' ENTERED AT 17:54:16 ON 24 AUG 2004
L4              0 S L1
L5              0 S L1 FUL

     FILE 'MARPAT' ENTERED AT 17:54:30 ON 24 AUG 2004
L6              0 S L1
L7              1 S L1 FUL
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